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(54) POLYHYDROXYPIPERIDINES AND PRODUCTION THEREOF

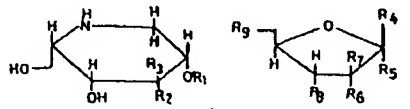
(57) Abstract:

NEW MATERIAL:Compounds of formula I (R_1 is H or methyl; One of R_2 and R_3 is H and the other is OH).

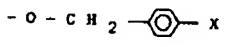
EXAMPLE:
2-O-Benzyl-3,4,6-tri-O-acetyl-5-O-trimethylsilyl-D-allono nitrile.

USE: A glycosidase inhibitor.

PREPARATION: A ribofuranoside derivative of formula II [One of R_4 and R_5 is H and the other is alkoxy or formula III (X is R, CH_3, OCH_3 or Cl); One of R_6 and R_7 is H and the other is acyloxy, etc.; R_8 is acyloxy, etc.; R_9 is acyloxy, azide, etc.] and an arabinofuranoside derivative ire reacted with cyanotrimethylsilane in the presence of a Lewis acid and the resultant compound is then subjected to ring opening and carbon increase to obtain a compound of formula IV. The trimethylsilyl group of the resultant compound is substituted for a suitable elimination group and the cyano group thereof is subjected to ring closure by reduction to obtain a compound of formula V. Protective groups of the obtained compound of formula V are removed by a catalytic reduction, thus obtaining the objective compound of formula I.



I II



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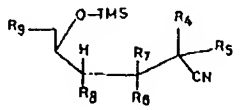
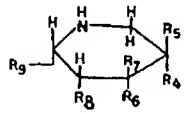


IV



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